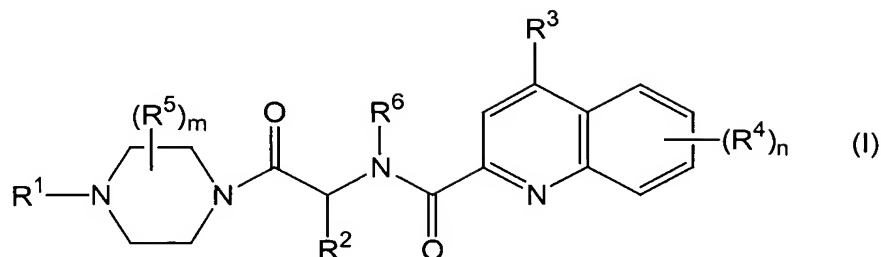


What is claimed is:

1. A compound of formula (I):



wherein:

m and n are independently 1 to 4;

R¹ is hydrogen, alkyl, carboxyalkyl, aryl, aralkyl, alkylcarbonyl, aryloxyalkylcarbonyl, carboxyalkylcarbonyl, alkoxycarbonylalkylcarbonyl, alkoxycarbonylalkyl, alkoxycarbonyl, arylcarbonyl, aryloxy carbonyl, aralkoxycarbonyl, cycloalkylcarbonyl, haloalkoxycarbonyl, aminocarbonyl, monoalkylaminocarbonyl, dialkylaminocarbonyl, alkoxycarbonylaminocarbonyl, or heterocyclcarbonyl;

R² is hydrogen, alkyl, aryl, aralkyl, alkylsulfonylalkyl, aralkoxyalkyl, hydroxyalkyl, aminoalkyl, haloalkylsulfonylaminoalkyl, carboxyalkylthioalkyl, alkoxycarbonylalkylthioalkyl, carboxyalkyl, (carboxy)(hydroxy)alkyl, carboxyalkoxyalkyl, alkoxycarbonylalkyl, aralkoxycarbonylalkyl, carboxyalkoxycarbonylalkyl, alkoxycarbonylalkoxycarbonylalkyl, aminocarbonylalkyl, aralkoxycarbonylaminoalkyl, alkoxycarbonylalkylaminocarbonylalkyl, carboxyalkylaminocarbonylalkyl, (alkoxycarbonylalkyl)(alkyl)aminocarbonylalkyl, (carboxyalkyl)(alkyl)aminocarbonylalkyl, or heterocyclalkyl;

R³ is aryl or aryloxy each independently optionally substituted by one or more substituents selected from the group consisting of alkyl, halo, haloalkyl, cyano, nitro, tetrazolyl, -R⁸-OR⁷, -R⁸-C(O)OR⁷, -R⁸-C(O)N(R⁷)₂, -R⁸-C(O)R⁷, -R⁸-N(R⁷)₂, -R⁸-N(R⁷)C(O)R⁷, -R⁸-N(R⁷)C(O)OR⁹, -R⁸-N(R⁷)-S(O)₂-R⁷, and -R⁸-C[N(R⁷)₂]-C(O)OR⁷;

or R³ is aralkyl or aralkoxy, wherein the alkyl radical in the aralkyl or aralkoxy substituent is optionally substituted by one or more substituents selected from the group consisting of halo, cyano, nitro, -R⁸-OR⁷, -R⁸-C(O)OR⁷, -R⁸-C(O)N(R⁷)₂, -R⁸-C(O)R⁷, -R⁸-N(R⁷)₂, -R⁸-N(R⁷)C(O)R⁷, and -R⁹-N(R⁷)C(O)OR⁹, and wherein the aryl radical in the aralkyl or aralkoxy substituent is independently optionally substituted by one or more substituents selected from the group consisting of alkyl, halo, haloalkyl, cyano, nitro, tetrazolyl, -R⁸-OR⁷, -R⁸-C(O)OR⁷, -R⁸-C(O)N(R⁷)₂, -R⁸-C(O)R⁷, -R⁸-N(R⁷)₂, -R⁸-N(R⁷)C(O)R⁷, -R⁸-N(R⁷)C(O)OR⁹, -R⁸-N(R⁷)-S(O)₂-R⁷, and -R⁸-C[N(R⁷)₂]-C(O)OR⁷;

each R^4 is independently selected from the group consisting of hydrogen, alkyl, alkoxy, aralkoxy, halo, haloalkyl, haloalkoxy, hydroxy, cyano, alkylthio, carboxy, alkoxycarbonyl, aminocarbonyl, alkylcarbonyl, nitro, amino, monoalkylamino, dialkylamino, carboxyalkylamino, alkylcarbonylamino, di(alkylcarbonyl)amino, hydroxyalkyl, dialkylaminoalkyl, carboxyalkoxy, alkoxycarbonylalkoxy, dialkylaminoalkoxy, and heterocyclalkoxy;

each R^5 is independently selected from the group consisting of hydrogen, alkyl, hydroxyalkyl, aralkyl, carboxy, alkoxycarbonyl, aralkoxycarbonyl, carboxyalkyl, and alkoxycarbonylalkyl;

R^6 is hydrogen, alkyl, carboxyalkyl, or alkoxycarbonylalkyl;

each R^7 is hydrogen, alkyl, aryl, aralkyl, or haloalkyl;

each R^8 is a bond or a straight or branched alkylene chain; and

each R^9 is hydrogen, alkyl, aralkyl or haloalkyl;

as a single stereoisomer, a mixture of individual stereoisomers, or a racemic mixture; or a pharmaceutically acceptable salt thereof.

2. The compound of Claim 1 wherein:

m is 1;

n is 1 or 2;

R^1 is hydrogen, aryl, aralkyl, or alkoxycarbonyl;

R^2 is hydrogen, carboxyalkyl, alkoxycarbonylalkyl or aralkoxycarbonylalkyl;

R^3 is aryl optionally substituted by one or more substituents selected from the group consisting of alkyl, halo, haloalkyl, cyano, nitro, $-R^8-OR^7$, $-R^8-C(O)OR^7$, $-R^8-C(O)N(R^7)_2$, $-R^8-C(O)R^7$, $-R^8-N(R^7)_2$, $-R^8-N(R^7)C(O)R^7$, and $-R^8-N(R^7)C(O)OR^9$;

each R^4 is independently selected from the group consisting of hydrogen, alkyl, alkoxy, aralkoxy, halo, haloalkyl, haloalkoxy, hydroxy, cyano, alkylthio, carboxy, alkoxycarbonyl, aminocarbonyl, alkylcarbonyl, nitro, amino, monoalkylamino, dialkylamino, carboxyalkylamino, alkylcarbonylamino, di(alkylcarbonyl)amino, hydroxyalkyl, dialkylaminoalkyl, carboxyalkoxy, alkoxycarbonylalkoxy, dialkylaminoalkoxy, and heterocyclalkoxy;

R^5 is hydrogen;

R^6 is hydrogen or alkyl;

each R^7 is hydrogen, alkyl, aryl, aralkyl, or haloalkyl;

each R^8 is a bond or a straight or branched alkylene chain; and

R^9 is hydrogen, alkyl, aralkyl or haloalkyl.

3. The compound of Claim 2 wherein:

m is 1;

n is 1 or 2;

R¹ is hydrogen or alkoxycarbonyl;

R² is hydrogen, carboxyalkyl, alkoxycarbonylalkyl or aralkoxycarbonylalkyl;

R³ is aryl optionally substituted by one or more substituents selected from the group consisting of carboxy or alkoxycarbonyl;

each R⁴ is independently selected from the group consisting of hydrogen, alkyl, halo, or haloalkyl;

R⁵ is hydrogen; and

R⁶ is hydrogen.

4. The compound of Claim 4, namely, 2-[1S-(4-(ethoxycarbonyl)piperazin-1-yl)carbonyl-3-carboxypropyl]aminocarbonyl-4-(3-carboxy)phenylquinoline in trifluoroacetic acid.

5. The compound of Claim 1 wherein:

m is 1;

n is 1 or 2;

R¹ is hydrogen, aryl, aralkyl, or alkoxycarbonyl;

R² is hydrogen, carboxyalkyl, alkoxycarbonylalkyl or aralkoxycarbonylalkyl;

R³ is aryloxy optionally substituted by one or more substituents selected from the group consisting of alkyl, halo, haloalkyl, cyano, nitro, tetrazolyl, -R⁸-OR⁷, -R⁸-C(O)OR⁷, -R⁸-C(O)N(R⁷)₂, -R⁸-C(O)R⁷, -R⁸-N(R⁷)₂, -R⁸-N(R⁷)C(O)R⁷, -R⁸-N(R⁷)C(O)OR⁹, -R⁸-N(R⁷)-S(O)₂-R⁷, and -R⁸-C[N(R⁷)₂]-C(O)OR⁷;

each R⁴ is independently selected from the group consisting of hydrogen, alkyl, alkoxy, aralkoxy, halo, haloalkyl, haloalkoxy, hydroxy, cyano, alkylthio, carboxy, alkoxycarbonyl, aminocarbonyl, alkylcarbonyl, nitro, amino, monoalkylamino, dialkylamino, carboxyalkylamino, alkylcarbonylamino, di(alkylcarbonyl)amino, hydroxyalkyl, dialkylaminoalkyl, carboxyalkoxy, alkoxycarbonylalkoxy, dialkylaminoalkoxy, and heterocyclalkoxy;

R⁵ is selected from the group consisting of hydrogen, alkyl, hydroxyalkyl, aralkyl, carboxy, alkoxycarbonyl, aralkoxycarbonyl, carboxyalkyl, and alkoxycarbonylalkyl;

R⁶ is hydrogen, alkyl, carboxyalkyl, or alkoxycarbonylalkyl;

each R⁷ is hydrogen, alkyl, aryl, aralkyl, or haloalkyl;

each R⁸ is a bond or a straight or branched alkylene chain; and

R⁹ is hydrogen, alkyl, aralkyl or haloalkyl.

6. The compound of Claim 5 wherein:

m is 1;

n is 1 or 2;

R¹ is hydrogen or alkoxy carbonyl;

R² is hydrogen, carboxyalkyl, alkoxy carbonylalkyl or aralkoxy carbonylalkyl;

R³ is aryloxy optionally substituted by one or more substituents selected from the group consisting of alkyl, tetrazolyl, -R⁸-C(O)OR⁷, -R⁸-N(R⁷)₂, -R⁸-N(R⁷)-S(O)₂-R⁷, and -R⁸-C[N(R⁷)₂]-C(O)OR⁷;

each R⁴ is independently selected from the group consisting of hydrogen, alkyl, halo, or haloalkyl;

R⁵ is hydrogen;

R⁶ is hydrogen;

each R⁷ is hydrogen, alkyl, aryl, aralkyl, or haloalkyl; and

each R⁸ is a bond or a straight or branched alkylene chain.

7. The compound of Claim 6 selected from the group consisting of the following:

2-[1S-(4-(ethoxycarbonyl)piperazin-1-yl)carbonyl-3-carboxypropyl]aminocarbonyl-4-(3-carboxy)phenoxyquinoline in 2,2,2-trifluoro-1,1-ethanediol;

2-[1S-(4-(ethoxycarbonyl)piperazin-1-yl)carbonyl-3-carboxypropyl]aminocarbonyl-4-(2-carboxy)phenoxyquinoline in 2,2,2-trifluoro-1,1-ethanediol;

2-[1S-(4-(ethoxycarbonyl)piperazin-1-yl)carbonyl-3-carboxypropyl]aminocarbonyl-4-(2-amino-5-carboxy)phenoxyquinoline in 2,2,2-trifluoro-1,1-ethanediol;

2-[1S-(4-(ethoxycarbonyl)piperazin-1-yl)carbonyl-3-carboxypropyl]aminocarbonyl-4-(4-carboxy)phenoxyquinoline in 2,2,2-trifluoro-1,1-ethanediol;

2-[1S-(4-(ethoxycarbonyl)piperazin-1-yl)carbonyl-3-carboxypropyl]aminocarbonyl-4-(3-carboxymethyl)phenoxyquinoline in trifluoroacetic acid;

2-[1S-(4-(ethoxycarbonyl)piperazin-1-yl)carbonyl-3-carboxypropyl]aminocarbonyl-4-(3-(1-amino-1-carboxy)methyl)phenoxyquinoline in trifluoroacetic acid;

2-[1S-(4-(ethoxycarbonyl)piperazin-1-yl)carbonyl-3-carboxypropyl]aminocarbonyl-4-(3-(2-amino-2-carboxy)ethyl)phenoxyquinoline in trifluoroacetic acid;

2-[1S-(4-(ethoxycarbonyl)piperazin-1-yl)carbonyl-3-carboxypropyl]aminocarbonyl-4-(2-methyl-5-carboxy)phenoxyquinoline in trifluoroacetic acid;

2-[1S-(4-(ethoxycarbonyl)piperazin-1-yl)carbonyl-3-carboxypropyl]aminocarbonyl-4-(5-carboxy-2-diethylaminomethyl)phenoxyquinoline in trifluoroacetic acid;

2-[1S-(4-(ethoxycarbonyl)piperazin-1-yl)carbonyl-3-carboxypropyl]aminocarbonyl-4-(3-tetrazol-5-yl)phenoxyquinoline in 2,2,2-trifluoro-1,1-ethanediol;
 2-[1S-(4-(ethoxycarbonyl)piperazin-1-yl)carbonyl-3-carboxypropyl]aminocarbonyl-4-(3-trifluoromethylsulfonylamino)phenoxyquinoline in trifluoroacetic acid; and
 2-[1S-(4-(ethoxycarbonyl)piperazin-1-yl)carbonyl-3-carboxypropyl]aminocarbonyl-7-methyl-4-(3-carboxy)phenoxyquinoline in trifluoroacetic acid.

8. The compound of Claim 1 wherein

m is 1;

n is 1 or 2;

R¹ is hydrogen, aryl, aralkyl, or alkoxycarbonyl;

R² is hydrogen, carboxyalkyl, alkoxycarbonylalkyl or aralkoxycarbonylalkyl;

R³ is aralkyl wherein the alkyl radical in the aralkyl substituent is optionally substituted by one or more substituents selected from the group consisting of halo, cyano, nitro, -R⁸-OR⁷, -R⁸-C(O)OR⁷, -R⁸-C(O)N(R⁷)₂, -R⁸-C(O)R⁷, -R⁸-N(R⁷)₂, -R⁸-N(R⁷)C(O)R⁷, and -R⁹-N(R⁷)C(O)OR⁹, and wherein the aryl radical in the aralkyl substituent is independently optionally substituted by one or more substituents selected from the group consisting of alkyl, halo, haloalkyl, cyano, nitro, tetrazolyl, -R⁸-OR⁷, -R⁸-C(O)OR⁷, -R⁸-C(O)N(R⁷)₂, -R⁸-C(O)R⁷, -R⁸-N(R⁷)₂, -R⁸-N(R⁷)C(O)R⁷, -R⁸-N(R⁷)C(O)OR⁹, -R⁸-N(R⁷)-S(O)₂-R⁷, and -R⁸-C[N(R⁷)₂]-C(O)OR⁷;

each R⁴ is independently selected from the group consisting of hydrogen, alkyl, alkoxy, aralkoxy, halo, haloalkyl, haloalkoxy, hydroxy, cyano, alkylthio, carboxy, alkoxycarbonyl, aminocarbonyl, alkylcarbonyl, nitro, amino, monoalkylamino, dialkylamino, carboxyalkylamino, alkylcarbonylamino, di(alkylcarbonyl)amino, hydroxyalkyl, dialkylaminoalkyl, carboxyalkoxy, alkoxycarbonylalkoxy, dialkylaminoalkoxy, and heterocyclalkoxy;

R⁵ is selected from the group consisting of hydrogen, alkyl, hydroxyalkyl, aralkyl, carboxy, alkoxycarbonyl, aralkoxycarbonyl, carboxyalkyl, and alkoxycarbonylalkyl;

R⁶ is hydrogen, alkyl, carboxyalkyl, or alkoxycarbonylalkyl;

each R⁷ is hydrogen, alkyl, aryl, aralkyl, or haloalkyl;

each R⁸ is a bond or a straight or branched alkylene chain; and

R⁹ is hydrogen, alkyl, aralkyl or haloalkyl.

9. The compound of Claim 1 wherein:

m is 1;

n is 1 or 2;

R^1 is hydrogen, aryl, aralkyl, or alkoxycarbonyl;

R^2 is hydrogen, carboxyalkyl, alkoxycarbonylalkyl or aralkoxycarbonylalkyl;

R^3 is aralkoxy wherein the alkyl radical in the aralkyl substituent is not optionally substituted and wherein the aryl radical in the aralkoxy substituent is optionally substituted by one or more substituents selected from the group consisting of alkyl, halo, haloalkyl, cyano, nitro, tetrazolyl, $-R^8-OR^7$, $-R^8-C(O)OR^7$, $-R^8-C(O)N(R^7)_2$, $-R^8-C(O)R^7$, $-R^8-N(R^7)_2$, $-R^8-N(R^7)C(O)R^7$, $-R^8-N(R^7)C(O)OR^9$, $-R^8-N(R^7)-S(O)_2-R^7$, and $-R^8-C[N(R^7)_2]-C(O)OR^7$;

each R^4 is independently selected from the group consisting of hydrogen, alkyl, alkoxy, aralkoxy, halo, haloalkyl, haloalkoxy, hydroxy, cyano, alkylthio, carboxy, alkoxycarbonyl, aminocarbonyl, alkylcarbonyl, nitro, amino, monoalkylamino, dialkylamino, carboxyalkylamino, alkylcarbonylamino, di(alkylcarbonyl)amino, hydroxyalkyl, dialkylaminoalkyl, carboxyalkoxy, alkoxycarbonylalkoxy, dialkylaminoalkoxy, and heterocyclalkoxy;

R^5 is selected from the group consisting of hydrogen, alkyl, hydroxyalkyl, aralkyl, carboxy, alkoxycarbonyl, aralkoxycarbonyl, carboxyalkyl, and alkoxycarbonylalkyl;

R^6 is hydrogen, alkyl, carboxyalkyl, or alkoxycarbonylalkyl;

each R^7 is hydrogen, alkyl, aryl, aralkyl, or haloalkyl;

each R^8 is a bond or a straight or branched alkylene chain; and

R^9 is hydrogen, alkyl, aralkyl or haloalkyl.

10. The compound of Claim 9 wherein:

m is 1;

n is 1 or 2;

R^1 is hydrogen, aryl, aralkyl, or alkoxycarbonyl;

R^2 is hydrogen, carboxyalkyl, alkoxycarbonylalkyl or aralkoxycarbonylalkyl;

R^3 is aralkoxy wherein the aryl radical in the aralkoxy substituent is optionally substituted by one or more substituents selected from the group consisting of alkyl, halo, haloalkyl, $-R^8-OR^7$, $-R^8-C(O)OR^7$, $-R^8-C(O)N(R^7)_2$, and $-R^8-N(R^7)_2$;

each R^4 is independently selected from the group consisting of hydrogen, alkyl, alkoxy, halo, or haloalkyl;

R^5 is hydrogen;

R^6 is hydrogen;

each R^7 is hydrogen, alkyl, aryl, aralkyl, or haloalkyl; and

each R^8 is a bond or a straight or branched alkylene chain.

11. The compound of Claim 10 selected from the group consisting of the following:

2-[(4-(ethoxycarbonyl)piperazin-1-yl)carbonylmethyl]aminocarbonyl-4-benzyloxyquinoline;
 2-[1S-(4-(ethoxycarbonyl)piperazin-1-yl)carbonyl-3-benzyloxycarbonylpropyl]aminocarbonyl-4-benzyloxyquinoline;
 2-[1S-(4-(ethoxycarbonyl)piperazin-1-yl)carbonyl-3-carboxypropyl]aminocarbonyl-4-benzyloxyquinoline;
 2-[1-(4-(ethoxycarbonyl)piperazin-1-yl)carbonyl-3-benzyloxycarbonylpropyl]aminocarbonyl-4-benzyloxy-8-methoxyquinoline;
 2-[1S-(4-(ethoxycarbonyl)piperazin-1-yl)carbonyl-3-carboxypropyl]aminocarbonyl-4-benzyloxy-8-methoxyquinoline;
 2-[(4-(ethoxycarbonyl)piperazin-1-yl)carbonylmethyl]aminocarbonyl-4-(4-methoxycarbonyl)benzyloxyquinoline;
 2-[(4-(ethoxycarbonyl)piperazin-1-yl)carbonylmethyl]aminocarbonyl-4-(4-carboxy)benzyloxyquinoline;
 2-[(4-(ethoxycarbonyl)piperazin-1-yl)carbonylmethyl]aminocarbonyl-4-(3-methoxycarbonyl)benzyloxyquinoline;
 2-[(4-(ethoxycarbonyl)piperazin-1-yl)carbonylmethyl]aminocarbonyl-4-(3-carboxy)benzyloxyquinoline;
 2-[1S-(4-(3-methylphenyl)piperazin-1-yl)carbonyl-3-(1,1-dimethylethoxycarbonyl)propyl]aminocarbonyl-4-benzyloxyquinoline; and
 2-[1S-(4-(3-methylphenyl)piperazin-1-yl)carbonyl-3-carboxypropyl]aminocarbonyl-4-benzyloxyquinoline.

12. The compound of Claim 1 wherein:

m is 1;

n is 1 or 2;

R¹ is hydrogen, aryl, aralkyl, or alkoxycarbonyl;

R² is hydrogen, carboxyalkyl, alkoxycarbonylalkyl or aralkoxycarbonylalkyl;

R³ is aralkoxy wherein the alkyl radical in the aralkoxy substituent is substituted by one or more substituents selected from the group consisting of halo, cyano, nitro, -R⁸-OR⁷, -R⁸-C(O)OR⁷, -R⁸-C(O)N(R⁷)₂, -R⁸-C(O)R⁷, -R⁸-N(R⁷)₂, -R⁸-N(R⁷)C(O)R⁷, and -R⁹-N(R⁷)C(O)OR⁹), and wherein the aryl radical in the aralkoxy substituent is optionally substituted by one or more substituents selected from the group consisting of alkyl, halo, haloalkyl, cyano, nitro, tetrazolyl, -R⁸-OR⁷, -R⁸-C(O)OR⁷, -R⁸-C(O)N(R⁷)₂, -R⁸-C(O)R⁷, -R⁸-N(R⁷)₂, -R⁸-N(R⁷)C(O)R⁷, -R⁸-N(R⁷)C(O)OR⁹, -R⁸-N(R⁷)-S(O)₂-R⁷, and -R⁸-C[N(R⁷)₂]-C(O)OR⁷;

each R^4 is independently selected from the group consisting of hydrogen, alkyl, alkoxy, aralkoxy, halo, haloalkyl, haloalkoxy, hydroxy, cyano, alkylthio, carboxy, alkoxycarbonyl, aminocarbonyl, alkylcarbonyl, nitro, amino, monoalkylamino, dialkylamino, carboxyalkylamino, alkylcarbonylamino, di(alkylcarbonyl)amino, hydroxyalkyl, dialkylaminoalkyl, carboxyalkoxy, alkoxycarbonylalkoxy, dialkylaminoalkoxy, and heterocyclalkoxy;

R^5 is selected from the group consisting of hydrogen, alkyl, hydroxyalkyl, aralkyl, carboxy, alkoxycarbonyl, aralkoxycarbonyl, carboxyalkyl, and alkoxycarbonylalkyl;

R^6 is hydrogen, alkyl, carboxyalkyl, or alkoxycarbonylalkyl;

each R^7 is hydrogen, alkyl, aryl, aralkyl, or haloalkyl;

each R^8 is a bond or a straight or branched alkylene chain; and

R^9 is hydrogen, alkyl, aralkyl or haloalkyl.

13. The compound of Claim 12 wherein:

m is 1;

n is 1 or 2;

R^1 is hydrogen, aryl, aralkyl, or alkoxycarbonyl;

R^2 is hydrogen, carboxyalkyl, alkoxycarbonylalkyl or aralkoxycarbonylalkyl;

R^3 is aralkoxy wherein the alkyl radical in the aralkoxy substituent is substituted by $-R^8-C(O)OR^7$, and wherein the aryl radical in the aralkoxy substituent is optionally substituted by one or more substituents selected from the group consisting of halo and $-R^8-OR^7$;

each R^4 is independently selected from the group consisting of hydrogen, alkyl, alkoxy, halo, haloalkyl, amino, monoalkylamino, or dialkylamino;

R^5 is hydrogen;

R^6 is hydrogen;

each R^7 is hydrogen, alkyl, aryl, aralkyl, or haloalkyl; and

each R^8 is a bond or a straight or branched alkylene chain.

14. The compound of Claim 13 selected from the group consisting of the following:

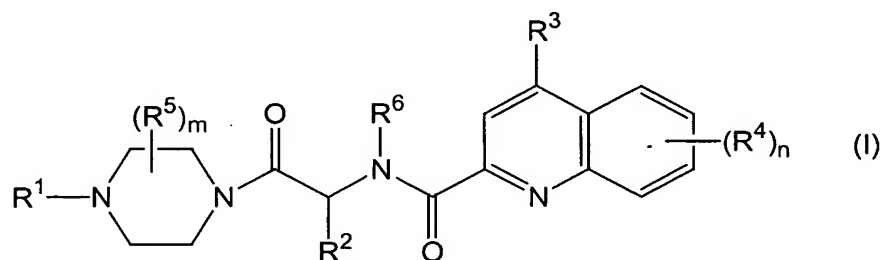
2-[1S-(4-(ethoxycarbonyl)piperazin-1-yl)carbonyl-3-(1,1-dimethylethoxycarbonyl)propyl]aminocarbonyl-4-(1-phenyl-1-methoxycarbonyl)methoxyquinoline;

2-[1S-(4-(ethoxycarbonyl)piperazin-1-yl)carbonyl-3-carboxypropyl]aminocarbonyl-4-(1-phenyl-1-methoxycarbonyl)methoxyquinoline;

- 2-[1S-(4-(ethoxycarbonyl)piperazin-1-yl)carbonyl-3-carboxypropyl]aminocarbonyl-4-(1-phenyl-1-carboxy)methoxyquinoline;
- 2-[1S-(4-(ethoxycarbonyl)piperazin-1-yl)carbonyl-3-carboxypropyl]aminocarbonyl-7-methyl-4-(1-phenyl-1-carboxy)methoxyquinoline;
- 2-[1S-(4-(ethoxycarbonyl)piperazin-1-yl)carbonyl-3-carboxypropyl]aminocarbonyl-6-fluoro-7-methyl-4-(1-phenyl-1-carboxy)methoxyquinoline;
- 2-[1S-(4-(ethoxycarbonyl)piperazin-1-yl)carbonyl-3-carboxypropyl]aminocarbonyl-7-chloro-4-(1-carboxy-1-phenyl)methoxyquinoline in trifluoroacetic acid;
- 2-[1S-(4-(ethoxycarbonyl)piperazin-1-yl)carbonyl-3-carboxypropyl]aminocarbonyl-6-fluoro-7-methyl-4-(1-naphth-1-yl-1-carboxy)methoxyquinoline;
- 2-[1S-(4-(ethoxycarbonyl)piperazin-1-yl)carbonyl-3-carboxypropyl]aminocarbonyl-6-chloro-8-fluoro-4-(1-methoxycarbonyl-1-phenyl)methoxyquinoline in acetic acid;
- 2-[1S-(4-(ethoxycarbonyl)piperazin-1-yl)carbonyl-3-carboxypropyl]aminocarbonyl-6-chloro-8-fluoro-4-(1-carboxy-1-phenyl)methoxyquinoline in acetic acid;
- 2-[1S-(4-(ethoxycarbonyl)piperazin-1-yl)carbonyl-3-carboxypropyl]aminocarbonyl-7-methyl-6-fluoro-4-(1-carboxy-1-(2-fluoro)phenyl)methoxyquinoline in trifluoroacetic acid;
- 2-[1S-(4-(ethoxycarbonyl)piperazin-1-yl)carbonyl-3-carboxypropyl]aminocarbonyl-7-methyl-4-(1-ethoxycarbonyl-1-phenyl)methoxyquinoline in trifluoroacetic acid;
- 2-[(4-(ethoxycarbonyl)piperazin-1-yl)carbonylmethyl]aminocarbonyl-6-fluoro-7-methyl-4-(1-phenyl-1-carboxy)methoxyquinoline;
- 2-[1S-(4-(ethoxycarbonyl)piperazin-1-yl)carbonyl-3-carboxypropyl]aminocarbonyl-7-methyl-6-fluoro-4-(1-carboxy-1-(4-chloro)phenyl)methoxyquinoline in trifluoroacetic acid;
- 2-[1S-(4-(ethoxycarbonyl)piperazin-1-yl)carbonyl-3-carboxypropyl]aminocarbonyl-7-methyl-6-fluoro-4-(1-carboxy-1-(3-methoxy)phenyl)methoxyquinoline in trifluoroacetic acid;
- 2-[1S-(4-(ethoxycarbonyl)piperazin-1-yl)carbonyl-3-carboxypropyl]aminocarbonyl-6,8-difluoro-4-(1-carboxy-1-phenyl)methoxyquinoline in trifluoroacetic acid;
- 2-[1S-(4-(ethoxycarbonyl)piperazin-1-yl)carbonyl-3-carboxypropyl]aminocarbonyl-6-dimethylamino-4-(1-phenyl-1-carboxy)methoxyquinoline;
- 2-[1S-(4-(ethoxycarbonyl)piperazin-1-yl)carbonyl-3-carboxypropyl]aminocarbonyl-7-chloro-6-methyl-4-(1-phenyl-1-carboxy)methoxyquinoline in trifluoroacetic acid;
- 2-[1S-(4-(ethoxycarbonyl)piperazin-1-yl)carbonyl-3-carboxypropyl]aminocarbonyl-7-methyl-6-chloro-4-(1-phenyl-1-carboxy)methoxyquinoline in trifluoroacetic acid;
- 2-[1S-(4-(1,1-dimethylethoxycarbonyl)piperazin-1-yl)carbonyl-3-methoxycarbonylpropyl]aminocarbonyl-6-fluoro-7-methyl-4-(1-phenyl-1-carboxy)methoxyquinoline;

- 2-[1S-(4-(1,1-dimethylethoxycarbonyl)piperazin-1-yl)carbonyl-3-carboxypropyl]aminocarbonyl-6-fluoro-7-methyl-4-(1-phenyl-1-carboxy)methoxyquinoline;
- 2-[1S-(4-(methoxycarbonyl)piperazin-1-yl)carbonyl-3-carboxypropyl]aminocarbonyl-6-fluoro-7-methyl-4-(1-phenyl-1-carboxy)methoxyquinoline in trifluoroacetic acid;
- 2-[1S-(4-(1,1-dimethylethylaminocarbonyl)piperazin-1-yl)carbonyl-3-carboxypropyl]aminocarbonyl-6-fluoro-7-methyl-4-(1-phenyl-1-carboxy)methoxyquinoline in trifluoroacetic acid;
- 2-[1S-(4-(furan-2-ylcarbonyl)piperazin-1-yl)carbonyl-3-carboxypropyl]aminocarbonyl-6-fluoro-7-methyl-4-(1-phenyl-1-carboxy)methoxyquinoline in trifluoroacetic acid;
- 2-[1S-(4-(3-methylphenyl)piperazin-1-yl)carbonyl-3-(1,1-dimethylethoxycarbonyl)propyl]aminocarbonyl-6-fluoro-7-methyl-4-(1-phenyl-1-carboxy)methoxyquinoline;
- 2-[1S-(4-(3-methylphenyl)piperazin-1-yl)carbonyl-3-carboxypropyl]aminocarbonyl-6-fluoro-7-methyl-4-(1-phenyl-1-carboxy)methoxyquinoline in trifluoroacetic acid;
- 2-[1S-(4-(phenyl)piperazin-1-yl)carbonyl-3-(1,1-dimethylethoxycarbonyl)propyl]aminocarbonyl-6-fluoro-7-methyl-4-(1-phenyl-1-carboxy)methoxyquinoline; and
- 2-[1S-(4-(phenyl)piperazin-1-yl)carbonyl-3-carboxypropyl]aminocarbonyl-6-fluoro-7-methyl-4-(1-phenyl-1-carboxy)methoxyquinoline in trifluoroacetic acid.

15. A pharmaceutical composition useful in treating a mammal having a disease-state characterized by thrombotic activity, which composition comprises a pharmaceutically acceptable excipient and a compound of formula (I):



wherein:

m and n are independently 1 to 4;

R¹ is hydrogen, alkyl, carboxyalkyl, aryl, aralkyl, alkylcarbonyl, aryloxyalkylcarbonyl, carboxyalkylcarbonyl, alkoxycarbonylalkylcarbonyl, alkoxycarbonylalkyl, alkoxycarbonyl, arylcarbonyl, aryloxycarbonyl, aralkoxycarbonyl, cycloalkylcarbonyl, haloalkoxycarbonyl, aminocarbonyl, monoalkylaminocarbonyl, dialkylaminocarbonyl, alkoxycarbonylaminocarbonyl, or heterocyclylcarbonyl;

R² is hydrogen, alkyl, aryl, aralkyl, alkylsulfonylalkyl, aralkoxyalkyl, hydroxyalkyl, aminoalkyl, haloalkylsulfonylaminoalkyl, carboxyalkylthioalkyl, alkoxycarbonylalkylthioalkyl,

carboxyalkyl, (carboxy)(hydroxy)alkyl, carboxyalkoxyalkyl, alkoxycarbonylalkyl, aralkoxycarbonylalkyl, carboxyalkoxycarbonylalkyl, alkoxycarbonylalkoxycarbonylalkyl, aminocarbonylalkyl, aralkoxycarbonylaminoalkyl, alkoxycarbonylalkylaminocarbonylalkyl, carboxyalkylaminocarbonylalkyl, (alkoxycarbonylalkyl)(alkyl)aminocarbonylalkyl, (carboxyalkyl)(alkyl)aminocarbonylalkyl, or heterocyclalkyl;

R^3 is aryl or aryloxy each independently optionally substituted by one or more substituents selected from the group consisting of alkyl, halo, haloalkyl, cyano, nitro, tetrazolyl, $-R^8-OR^7$, $-R^8-C(O)OR^7$, $-R^8-C(O)N(R^7)_2$, $-R^8-C(O)R^7$, $-R^8-N(R^7)_2$, $-R^8-N(R^7)C(O)R^7$, $-R^8-N(R^7)C(O)OR^9$, $-R^8-N(R^7)-S(O)_2-R^7$, and $-R^8-C[N(R^7)_2]-C(O)OR^7$;

or R^3 is aralkyl or aralkoxy, wherein the alkyl radical in the aralkyl or aralkoxy substituent is optionally substituted by one or more substituents selected from the group consisting of halo, cyano, nitro, $-R^8-OR^7$, $-R^8-C(O)OR^7$, $-R^8-C(O)N(R^7)_2$, $-R^8-C(O)R^7$, $-R^8-N(R^7)_2$, $-R^8-N(R^7)C(O)R^7$, and $-R^8-N(R^7)C(O)OR^9$, and wherein the aryl radical in the aralkyl or aralkoxy substituent is independently optionally substituted by one or more substituents selected from the group consisting of alkyl, halo, haloalkyl, cyano, nitro, tetrazolyl, $-R^8-OR^7$, $-R^8-C(O)OR^7$, $-R^8-C(O)N(R^7)_2$, $-R^8-C(O)R^7$, $-R^8-N(R^7)_2$, $-R^8-N(R^7)C(O)R^7$, $-R^8-N(R^7)C(O)OR^9$, $-R^8-N(R^7)-S(O)_2-R^7$, and $-R^8-C[N(R^7)_2]-C(O)OR^7$;

each R^4 is independently selected from the group consisting of hydrogen, alkyl, alkoxy, aralkoxy, halo, haloalkyl, haloalkoxy, hydroxy, cyano, alkylthio, carboxy, alkoxycarbonyl, aminocarbonyl, alkylcarbonyl, nitro, amino, monoalkylamino, dialkylamino, carboxyalkylamino, alkylcarbonylamino, di(alkylcarbonyl)amino, hydroxyalkyl, dialkylaminoalkyl, carboxyalkoxy, alkoxycarbonylalkoxy, dialkylaminoalkoxy, and heterocyclalkoxy;

each R^5 is independently selected from the group consisting of hydrogen, alkyl, hydroxyalkyl, aralkyl, carboxy, alkoxycarbonyl, aralkoxycarbonyl, carboxyalkyl, and alkoxycarbonylalkyl;

R^6 is hydrogen, alkyl, carboxyalkyl, or alkoxycarbonylalkyl;

each R^7 is hydrogen, alkyl, aryl, aralkyl, or haloalkyl;

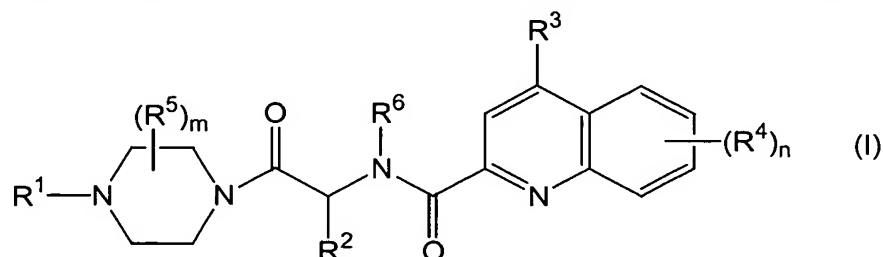
each R^8 is a bond or a straight or branched alkylene chain; and

each R^9 is hydrogen, alkyl, aralkyl or haloalkyl;

as a single stereoisomer, a mixture of individual stereoisomers, or a racemic mixture;

or a pharmaceutically acceptable salt thereof.

16. A method of treating a disease-state characterized by thrombotic activity, which method comprises administering to a mammal having a disease-state characterized by thrombotic activity a therapeutically effective amount of a compound of formula (I):



wherein:

m and n are independently 1 to 4;

R¹ is hydrogen, alkyl, carboxyalkyl, aryl, aralkyl, alkylcarbonyl, aryloxyalkylcarbonyl, carboxyalkylcarbonyl, alkoxycarbonylalkylcarbonyl, alkoxycarbonylalkyl, alkoxycarbonyl, arylcarbonyl, aryloxycarbonyl, aralkoxycarbonyl, cycloalkylcarbonyl, haloalkoxycarbonyl, aminocarbonyl, monoalkylaminocarbonyl, dialkylaminocarbonyl, alkoxycarbonylaminocarbonyl, or heterocyclcarbonyl;

R² is hydrogen, alkyl, aryl, aralkyl, alkylsulfonylalkyl, aralkoxyalkyl, hydroxyalkyl, aminoalkyl, haloalkylsulfonylaminoalkyl, carboxyalkylthioalkyl, alkoxycarbonylalkylthioalkyl, carboxyalkyl, (carboxy)(hydroxy)alkyl, carboxyalkoxyalkyl, alkoxycarbonylalkyl, aralkoxycarbonylalkyl, carboxyalkoxycarbonylalkyl, alkoxycarbonylalkoxycarbonylalkyl, aminocarbonylalkyl, aralkoxycarbonylaminoalkyl, alkoxycarbonylalkylaminocarbonylalkyl, carboxyalkylaminocarbonylalkyl, (alkoxycarbonylalkyl)(alkyl)aminocarbonylalkyl, (carboxyalkyl)(alkyl)aminocarbonylalkyl, or heterocyclalkyl;

R³ is aryl or aryloxy each independently optionally substituted by one or more substituents selected from the group consisting of alkyl, halo, haloalkyl, cyano, nitro, tetrazolyl, -R⁸-OR⁷, -R⁸-C(O)OR⁷, -R⁸-C(O)N(R⁷)₂, -R⁸-C(O)R⁷, -R⁸-N(R⁷)₂, -R⁸-N(R⁷)C(O)R⁷, -R⁸-N(R⁷)C(O)OR⁹, -R⁸-N(R⁷)-S(O)₂-R⁷, and -R⁸-C[N(R⁷)₂]-C(O)OR⁷;

or R³ is aralkyl or aralkoxy, wherein the alkyl radical in the aralkyl or aralkoxy substituent is optionally substituted by one or more substituents selected from the group consisting of halo, cyano, nitro, -R⁸-OR⁷, -R⁸-C(O)OR⁷, -R⁸-C(O)N(R⁷)₂, -R⁸-C(O)R⁷, -R⁸-N(R⁷)₂, -R⁸-N(R⁷)C(O)R⁷, and -R⁸-N(R⁷)C(O)OR⁹, and wherein the aryl radical in the aralkyl or aralkoxy substituent is independently optionally substituted by one or more substituents selected from the group consisting of alkyl, halo, haloalkyl, cyano, nitro, tetrazolyl, -R⁸-OR⁷, -R⁸-C(O)OR⁷, -R⁸-C(O)N(R⁷)₂, -R⁸-C(O)R⁷, -R⁸-N(R⁷)₂, -R⁸-N(R⁷)C(O)R⁷, -R⁸-N(R⁷)C(O)OR⁹, -R⁸-N(R⁷)-S(O)₂-R⁷, and -R⁸-C[N(R⁷)₂]-C(O)OR⁷;

each R^4 is independently selected from the group consisting of hydrogen, alkyl, alkoxy, aralkoxy, halo, haloalkyl, haloalkoxy, hydroxy, cyano, alkylthio, carboxy, alkoxycarbonyl, aminocarbonyl, alkylcarbonyl, nitro, amino, monoalkylamino, dialkylamino, carboxyalkylamino, alkylcarbonylamino, di(alkylcarbonyl)amino, hydroxyalkyl, dialkylaminoalkyl, carboxyalkoxy, alkoxycarbonylalkoxy, dialkylaminoalkoxy, and heterocyclalkoxy;

each R^5 is independently selected from the group consisting of hydrogen, alkyl, hydroxyalkyl, aralkyl, carboxy, alkoxycarbonyl, aralkoxycarbonyl, carboxyalkyl, and alkoxycarbonylalkyl;

R^6 is hydrogen, alkyl, carboxyalkyl, or alkoxycarbonylalkyl;

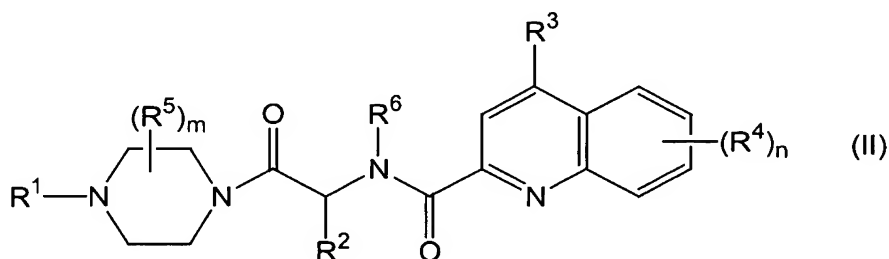
each R^7 is hydrogen, alkyl, aryl, aralkyl, or haloalkyl;

each R^8 is a bond or a straight or branched alkylene chain; and

each R^9 is hydrogen, alkyl, aralkyl or haloalkyl;

as a single stereoisomer, a mixture of individual stereoisomers, or a racemic mixture; or a pharmaceutically acceptable salt thereof.

17. A compound of formula (II):



wherein:

m and n are independently 1 to 4;

R^1 is hydrogen, alkyl, carboxyalkyl, aryl, aralkyl, alkylcarbonyl, aryloxyalkylcarbonyl, carboxyalkylcarbonyl, alkoxycarbonylalkylcarbonyl, alkoxycarbonylalkyl, alkoxycarbonyl, arylcarbonyl, aryloxyalkylcarbonyl, aralkoxycarbonyl, cycloalkylcarbonyl, haloalkoxycarbonyl, aminocarbonyl, monoalkylaminocarbonyl, dialkylaminocarbonyl, alkoxycarbonylaminocarbonyl, or heterocyclcarbonyl;

R^2 is hydrogen, alkyl, aryl, aralkyl, alkylsulfonylalkyl, aralkoxyalkyl, hydroxyalkyl, aminoalkyl, haloalkylsulfonylaminoalkyl, carboxyalkylthioalkyl, alkoxycarbonylalkylthioalkyl, carboxyalkyl, (carboxy)(hydroxy)alkyl, carboxyalkoxyalkyl, alkoxycarbonylalkyl, aralkoxycarbonylalkyl, carboxyalkoxycarbonylalkyl, alkoxycarbonylalkoxycarbonylalkyl, aminocarbonylalkyl, aralkoxycarbonylaminoalkyl, alkoxycarbonylalkylaminocarbonylalkyl, carboxyalkylaminocarbonylalkyl,

(alkoxycarbonylalkyl)(alkyl)aminocarbonylalkyl, (carboxyalkyl)(alkyl)aminocarbonylalkyl, or heterocyclalkyl;

R^3 is heteroaryl optionally substituted by one or more substituents selected from the group consisting of alkyl, halo, haloalkyl, cyano, nitro, tetrazolyl, $-R^8-OR^7$, $-R^8-C(O)OR^7$, $-R^8-C(O)N(R^7)_2$, $-R^8-C(O)R^7$, $-R^8-N(R^7)_2$, $-R^8-N(R^7)C(O)R^7$, $-R^8-N(R^7)C(O)OR^9$, $-R^8-N(R^7)-S(O)_2-R^7$, and $-R^8-C[N(R^7)_2]-C(O)OR^7$;

or R^3 is heteroarylalkoxy, wherein the alkoxy radical in the heteroarylalkoxy substituent is optionally substituted by one or more substituents selected from the group consisting of halo, cyano, nitro, $-R^8-OR^7$, $-R^8-C(O)OR^7$, $-R^8-C(O)N(R^7)_2$, $-R^8-C(O)R^7$, $-R^8-N(R^7)_2$, $-R^8-N(R^7)C(O)R^7$, and $-R^8-N(R^7)C(O)OR^9$, and wherein the heteroaryl radical in the heteroarylalkoxy substituent is independently optionally substituted by one or more substituents selected from the group consisting of alkyl, halo, haloalkyl, cyano, nitro, tetrazolyl, $-R^8-OR^7$, $-R^8-C(O)OR^7$, $-R^8-C(O)N(R^7)_2$, $-R^8-C(O)R^7$, $-R^8-N(R^7)_2$, $-R^8-N(R^7)C(O)R^7$, $-R^8-N(R^7)C(O)OR^9$, $-R^8-N(R^7)-S(O)_2-R^7$, and $-R^8-C[N(R^7)_2]-C(O)OR^7$;

each R^4 is independently selected from the group consisting of hydrogen, alkyl, alkoxy, aralkoxy, halo, haloalkyl, haloalkoxy, hydroxy, cyano, alkylthio, carboxy, alkoxycarbonyl, aminocarbonyl, alkylcarbonyl, nitro, amino, monoalkylamino, dialkylamino, carboxyalkylamino, alkylcarbonylamino, di(alkylcarbonyl)amino, hydroxyalkyl, dialkylaminoalkyl, carboxyalkoxy, alkoxycarbonylalkoxy, dialkylaminoalkoxy, and heterocyclalkoxy;

each R^5 is independently selected from the group consisting of hydrogen, alkyl, hydroxyalkyl, aralkyl, carboxy, alkoxycarbonyl, aralkoxycarbonyl, carboxyalkyl, and alkoxycarbonylalkyl;

R^6 is hydrogen, alkyl, carboxyalkyl, or alkoxycarbonylalkyl;

each R^7 is hydrogen, alkyl, aryl, aralkyl, or haloalkyl;

each R^8 is a bond or a straight or branched alkylene chain; and

each R^9 is hydrogen, alkyl, aralkyl or haloalkyl;

as a single stereoisomer, a mixture of individual stereoisomers, or a racemic mixture;

or a pharmaceutically acceptable salt thereof.

18. The compound of Claim 17 wherein:

m is 1;

n is 1 or 2;

R^1 is hydrogen, aryl, aralkyl, or alkoxycarbonyl;

R^2 is hydrogen, carboxyalkyl, alkoxycarbonylalkyl or aralkoxycarbonylalkyl;

R^3 is heteroaryl optionally substituted by one or more substituents selected from the group consisting of alkyl, halo, haloalkyl, $-R^8-OR^7$, $-R^8-C(O)OR^7$, $-R^8-C(O)N(R^7)_2$, and $-R^8-N(R^7)_2$;

each R^4 is independently selected from the group consisting of hydrogen, alkyl, alkoxy, halo, haloalkyl, amino, monoalkylamino, or dialkylamino;

R^5 is hydrogen;

R^6 is hydrogen;

each R^7 is hydrogen, alkyl, aryl, aralkyl, or haloalkyl; and

each R^8 is a bond or a straight or branched alkylene chain.

19. The compound of Claim 18, namely, 2-[1S-(4-(ethoxycarbonyl)piperazin-1-yl)carbonyl-3-carboxypropyl]aminocarbonyl-4-(1,2,3,4-tetrahydroisoquinolin-2-yl)quinoline in trifluoroacetic acid.

20. The compound of Claim 17 wherein:

m is 1;

n is 1 or 2;

R^1 is hydrogen, aryl, aralkyl, or alkoxycarbonyl;

R^2 is hydrogen, carboxyalkyl, alkoxycarbonylalkyl or aralkoxycarbonylalkyl;

R^3 is heteroarylalkoxy, wherein the alkoxy radical in the heteroarylalkoxy substituent is optionally substituted by one or more substituents selected from the group consisting of halo and $-R^8-C(O)OR^7$, and wherein the heteroaryl radical in the heteroarylalkoxy substituent is independently optionally substituted by one or more substituents selected from the group consisting of alkyl, halo, haloalkyl, $-R^8-OR^7$, $-R^8-C(O)OR^7$, $-R^8-C(O)N(R^7)_2$, and $-R^8-N(R^7)_2$;

each R^4 is independently selected from the group consisting of hydrogen, alkyl, alkoxy, halo, haloalkyl, amino, monoalkylamino, or dialkylamino;

R^5 is hydrogen;

R^6 is hydrogen;

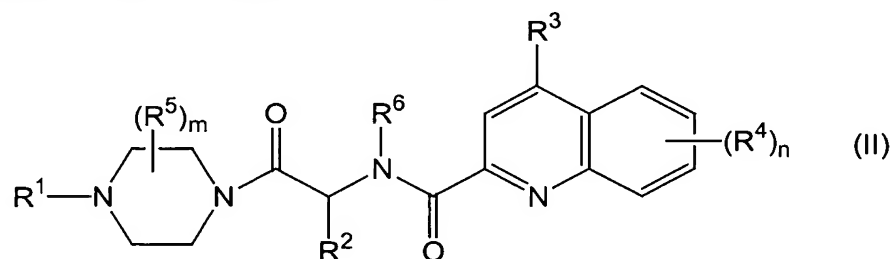
each R^7 is hydrogen, alkyl, aryl, aralkyl, or haloalkyl; and

each R^8 is a bond or a straight or branched alkylene chain.

21. The compound of Claim 20 selected from the group consisting of the following:
2-[1S-(4-(ethoxycarbonyl)piperazin-1-yl)carbonyl-3-carboxypropyl]aminocarbonyl-4-(5-methylisoxaxol-3-yl)methoxyquinoline in trifluoroacetic acid;

- 2-[1S-(4-(ethoxycarbonyl)piperazin-1-yl)carbonyl-3-carboxypropyl]aminocarbonyl-4-(2-methylthiazol-4-yl)methoxyquinoline in trifluoroacetic acid;
- 2-[1S-(4-(ethoxycarbonyl)piperazin-1-yl)carbonyl-3-methoxycarbonylpropyl]aminocarbonyl-4-(1-phenyl-1-ethoxycarbonyl-1-chloro)methoxyquinoline;
- 2-[1-(4-(ethoxycarbonyl)piperazin-1-yl)carbonyl-3-carboxypropyl]aminocarbonyl-7-methyl-6-fluoro-4-(1-carboxy-1-thien-3-yl)methoxyquinoline in trifluoroacetic acid;
- 2-[1S-(4-(ethoxycarbonyl)piperazin-1-yl)carbonyl-3-carboxypropyl]aminocarbonyl-6-chloro-7-methyl-4-(5-methylisoxazol-3-yl)methoxyquinoline; and
- 2-[1-(4-(ethoxycarbonyl)piperazin-1-yl)carbonyl-3-carboxypropyl]aminocarbonyl-6-chloro-7-methyl-4-(2-methylthiazol-4-yl)methoxyquinoline in trifluoroacetic acid.

22. A pharmaceutical composition useful in treating a mammal having a disease-state characterized by thrombotic activity, which composition comprises a pharmaceutically acceptable excipient and a compound of formula (II):



wherein:

m and n are independently 1 to 4;

R¹ is hydrogen, alkyl, carboxyalkyl, aryl, aralkyl, alkylcarbonyl, aryloxyalkylcarbonyl, carboxyalkylcarbonyl, alkoxycarbonylalkylcarbonyl, alkoxycarbonylalkyl, alkoxycarbonyl, arylcarbonyl, aryloxycarbonyl, aralkoxycarbonyl, cycloalkylcarbonyl, haloalkoxycarbonyl, aminocarbonyl, monoalkylaminocarbonyl, dialkylaminocarbonyl, alkoxycarbonylaminocarbonyl, or heterocyclylcarbonyl;

R² is hydrogen, alkyl, aryl, aralkyl, alkylsulfonylalkyl, aralkoxyalkyl, hydroxyalkyl, aminoalkyl, haloalkylsulfonylaminoalkyl, carboxyalkylthioalkyl, alkoxycarbonylalkylthioalkyl, carboxyalkyl, (carboxy)(hydroxy)alkyl, carboxyalkoxyalkyl, alkoxycarbonylalkyl, aralkoxycarbonylalkyl, carboxyalkoxycarbonylalkyl, alkoxycarbonylalkoxycarbonylalkyl, aminocarbonylalkyl, aralkoxycarbonylaminoalkyl, alkoxycarbonylalkylaminocarbonylalkyl, carboxyalkylaminocarbonylalkyl, (alkoxycarbonylalkyl)(alkyl)aminocarbonylalkyl, (carboxyalkyl)(alkyl)aminocarbonylalkyl, or heterocyclylalkyl;

R³ is heteroaryl optionally substituted by one or more substituents selected from the group consisting of alkyl, halo, haloalkyl, cyano, nitro, tetrazolyl, -R⁸-OR⁷, -R⁸-C(O)OR⁷,

$-R^8-C(O)N(R^7)_2$, $-R^8-C(O)R^7$, $-R^8-N(R^7)_2$, $-R^8-N(R^7)C(O)R^7$, $-R^8-N(R^7)C(O)OR^9$,
 $-R^8-N(R^7)-S(O)_2-R^7$, and $-R^8-C[N(R^7)_2]-C(O)OR^7$;

or R^3 is heteroarylalkoxy, wherein the alkoxy radical in the heteroarylalkoxy substituent is optionally substituted by one or more substituents selected from the group consisting of halo, cyano, nitro, $-R^8-OR^7$, $-R^8-C(O)OR^7$, $-R^8-C(O)N(R^7)_2$, $-R^8-C(O)R^7$, $-R^8-N(R^7)_2$, $-R^8-N(R^7)C(O)R^7$, and $-R^8-N(R^7)C(O)OR^9$, and wherein the heteroaryl radical in the heteroarylalkoxy substituent is independently optionally substituted by one or more substituents selected from the group consisting of alkyl, halo, haloalkyl, cyano, nitro, tetrazolyl, $-R^8-OR^7$, $-R^8-C(O)OR^7$, $-R^8-C(O)N(R^7)_2$, $-R^8-C(O)R^7$, $-R^8-N(R^7)_2$, $-R^8-N(R^7)C(O)R^7$, $-R^8-N(R^7)C(O)OR^9$, $-R^8-N(R^7)-S(O)_2-R^7$, and $-R^8-C[N(R^7)_2]-C(O)OR^7$;

each R^4 is independently selected from the group consisting of hydrogen, alkyl, alkoxy, aralkoxy, halo, haloalkyl, haloalkoxy, hydroxy, cyano, alkylthio, carboxy, alkoxycarbonyl, aminocarbonyl, alkylcarbonyl, nitro, amino, monoalkylamino, dialkylamino, carboxyalkylamino, alkylcarbonylamino, di(alkylcarbonyl)amino, hydroxyalkyl, dialkylaminoalkyl, carboxyalkoxy, alkoxycarbonylalkoxy, dialkylaminoalkoxy, and heterocyclalkoxy;

each R^5 is independently selected from the group consisting of hydrogen, alkyl, hydroxyalkyl, aralkyl, carboxy, alkoxycarbonyl, aralkoxycarbonyl, carboxyalkyl, and alkoxycarbonylalkyl;

R^6 is hydrogen, alkyl, carboxyalkyl, or alkoxycarbonylalkyl;

each R^7 is hydrogen, alkyl, aryl, aralkyl, or haloalkyl;

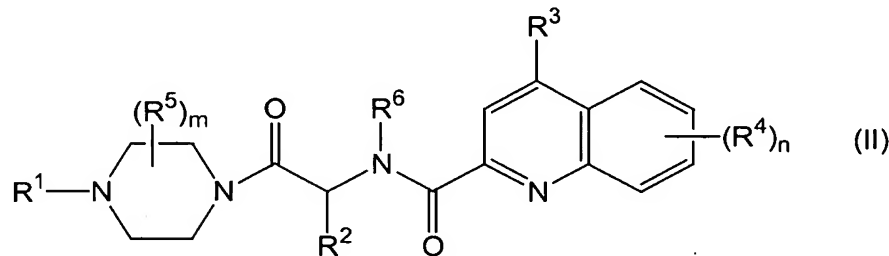
each R^8 is a bond or a straight or branched alkylene chain; and

each R^9 is hydrogen, alkyl, aralkyl or haloalkyl;

as a single stereoisomer, a mixture of individual stereoisomers, or a racemic mixture;

or a pharmaceutically acceptable salt thereof.

23. A method of treating a disease-state characterized by thrombotic activity, which method comprises administering to a mammal having a disease-state characterized by thrombotic activity a therapeutically effective amount of a compound of formula (II):



wherein:

m and n are independently 1 to 4;

R¹ is hydrogen, alkyl, carboxyalkyl, aryl, aralkyl, alkylcarbonyl, aryloxyalkylcarbonyl, carboxyalkylcarbonyl, alkoxycarbonylalkylcarbonyl, alkoxycarbonylalkyl, alkoxycarbonyl, arylcarbonyl, aryloxycarbonyl, aralkoxycarbonyl, cycloalkylcarbonyl, haloalkoxycarbonyl, aminocarbonyl, monoalkylaminocarbonyl, dialkylaminocarbonyl, alkoxycarbonylaminocarbonyl, or heterocyclcarbonyl;

R² is hydrogen, alkyl, aryl, aralkyl, alkylsulfonylalkyl, aralkoxyalkyl, hydroxyalkyl, aminoalkyl, haloalkylsulfonylaminoalkyl, carboxyalkylthioalkyl, alkoxycarbonylalkylthioalkyl, carboxyalkyl, (carboxy)(hydroxy)alkyl, carboxyalkoxyalkyl, alkoxycarbonylalkyl, aralkoxycarbonylalkyl, carboxyalkoxyalkoxyalkyl, alkoxycarbonylalkoxyalkoxyalkyl, aminocarbonylalkyl, aralkoxycarbonylaminoalkyl, alkoxycarbonylalkylaminocarbonylalkyl, carboxyalkylaminocarbonylalkyl, (alkoxycarbonylalkyl)(alkyl)aminocarbonylalkyl, (carboxyalkyl)(alkyl)aminocarbonylalkyl, or heterocyclalkyl;

R³ is heteroaryl optionally substituted by one or more substituents selected from the group consisting of alkyl, halo, haloalkyl, cyano, nitro, tetrazolyl, -R⁸-OR⁷, -R⁸-C(O)OR⁷, -R⁸-C(O)N(R⁷)₂, -R⁸-C(O)R⁷, -R⁸-N(R⁷)₂, -R⁸-N(R⁷)C(O)R⁷, -R⁸-N(R⁷)C(O)OR⁹, -R⁸-N(R⁷)-S(O)₂-R⁷, and -R⁸-C[N(R⁷)₂]-C(O)OR⁷;

or R³ is heteroarylalkoxy, wherein the alkoxy radical in the heteroarylalkoxy substituent is optionally substituted by one or more substituents selected from the group consisting of halo, cyano, nitro, -R⁸-OR⁷, -R⁸-C(O)OR⁷, -R⁸-C(O)N(R⁷)₂, -R⁸-C(O)R⁷, -R⁸-N(R⁷)₂, -R⁸-N(R⁷)C(O)R⁷, and -R⁸-N(R⁷)C(O)OR⁹, and wherein the heteroaryl radical in the heteroarylalkoxy substituent is independently optionally substituted by one or more substituents selected from the group consisting of alkyl, halo, haloalkyl, cyano, nitro, tetrazolyl, -R⁸-OR⁷, -R⁸-C(O)OR⁷, -R⁸-C(O)N(R⁷)₂, -R⁸-C(O)R⁷, -R⁸-N(R⁷)₂, -R⁸-N(R⁷)C(O)R⁷, -R⁸-N(R⁷)C(O)OR⁹, -R⁸-N(R⁷)-S(O)₂-R⁷, and -R⁸-C[N(R⁷)₂]-C(O)OR⁷;

each R⁴ is independently selected from the group consisting of hydrogen, alkyl, alkoxy, aralkoxy, halo, haloalkyl, haloalkoxy, hydroxy, cyano, alkylthio, carboxy, alkoxycarbonyl, aminocarbonyl, alkylcarbonyl, nitro, amino, monoalkylamino, dialkylamino, carboxyalkylamino, alkylcarbonylamino, di(alkylcarbonyl)amino, hydroxyalkyl, dialkylaminoalkyl, carboxyalkoxy, alkoxycarbonylalkoxy, dialkylaminoalkoxy, and heterocyclalkoxy;

each R⁵ is independently selected from the group consisting of hydrogen, alkyl, hydroxyalkyl, aralkyl, carboxy, alkoxycarbonyl, aralkoxycarbonyl, carboxyalkyl, and alkoxycarbonylalkyl;

R⁶ is hydrogen, alkyl, carboxyalkyl, or alkoxycarbonylalkyl;

each R⁷ is hydrogen, alkyl, aryl, aralkyl, or haloalkyl;

each R^8 is a bond or a straight or branched alkylene chain; and
each R^9 is hydrogen, alkyl, aralkyl or haloalkyl;
as a single stereoisomer, a mixture of individual stereoisomers, or a racemic mixture;
or a pharmaceutically acceptable salt thereof.